

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
21 July 2005 (21.07.2005)

PCT

(10) International Publication Number
WO 2005/065684 A1

(51) International Patent Classification⁷: **A61K 31/42**,
C07D 261/08, C07C 311/51, A61P 25/04

(21) International Application Number:
PCT/IB2004/004203

(22) International Filing Date:
13 December 2004 (13.12.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/532,849 24 December 2003 (24.12.2003) US

(71) Applicant (for all designated States except US): **PHARMACIA CORPORATION** [US/US]; 700 Chesterfield Parkway West, Chesterfield, MO 63017-1732 (US).

(72) Inventor; and

(75) Inventor/Applicant (for US only): **WADHWA, Manpreet, Vick, S.** [IN/US]; Pharmacia Corporation, 700 Chesterfield Parkway West, Chesterfield, MO 63017-1732 (US).

(74) Agents: **FULLER, Grover, F., Jr.** et al.; Pfizer Inc., P.O. Box 1027, St. Louis, MO 63006 (US).

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

— with international search report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: METAL SALTS OF PARECOXIB AS PRODRUGS OF THE COX-2 INHIBITOR VALDECOXIB FOR THE TREATMENT OF INFLAMMATION, PAIN AND/OR FEVER

(57) Abstract: Compounds having the structure MgX^1X^2 , wherein X^1 is parecoxib anion and X^2 is as selected from the group consisting of parecoxib anion, chloride, bromide, sulfate, phosphate, nitrate, acetate, propionate, succinate, glycolate, stearate, lactate, malate, tartrate, citrate, ascorbate, glutamate, benzoate, salicylate, methanesulfonate, and toluenesulfonate are disclosed; also disclosed are compounds having the structure $MX^1(X^2)_n$ wherein M is a metal cation selected from the group consisting of Ca^{2+} , Zn^{2+} , and K^+ ; X^1 is parecoxib anion; X^2 is selected from the group consisting of parecoxib anion and another pharmaceutically acceptable anion; and n is 0 when M is K^+ and n is 1 when M is Ca^{2+} or Zn^{2+} ; Compositions of the invention are useful treatment and prevention of a very wide range of disorders mediated by COX-2, including inflammation, pain and/or fever.



WO 2005/065684 A1